

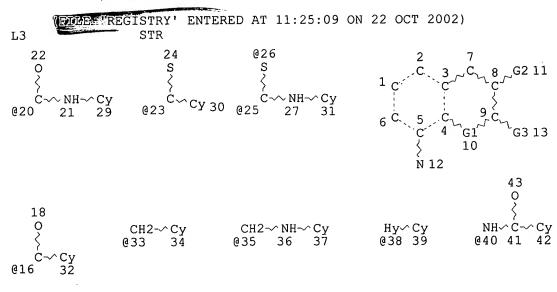
Access DB# 77852

SEARCH REQUEST FORM

Scientific and Technical Information Center

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Requester's Full Name: PAT Art Unit: 1624 Phone Mail Box and Bldg/Room Location	Number 30 C 🔏	109 Carial Number 100	T
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If mor than one search is sub	mitted, please priori	ilize searches in order of ne	ed. ME
Please provide a detailed statement of the Include the elected species or structures, utility of the invention. Define any term known. Please attach a copy of the covered to the covered	ne search topic, and describ , keywords, synonyms, acro ns that may have a special r r sheet, pertinent claims, ar	e as specifically as possible the subjonyms, and registry numbers, and concaning. Give examples or relevant ad abstract.	ect matter to be searched. ombine with the concept or citations, authors, etc, if
Title of Invention: THERA	PEUTIC HETE,	ROCYCLIC COIMP	TO PORT
Inventors (please provide full names):	1(40) 2.	25 / tr	Point of Contact
	WARD PIE	KJON el al	Technical Info. Specialist CM1 1E05 Tel: 308-4994
Earliest Priority Filing Date:	1 1		``.
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VAR G1=N/O/S
VAR G2=H/AK
VAR G3=16/20/23/25/26/33/35/38/40
NODE ATTRIBUTES:
NSPEC IS RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC I

NUMBER OF NODES IS 38

STEREO ATTRIBUTES: NONE

L5 198 SEA FILE=REGISTRY SSS FUL L3

L18 STR

10/051776

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L20 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2002:539473 HCAPLUS
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DOCUMENT NUMBER:

137:109293

TITLE:

Preparation of piperazinylchromans as 5-HT1B and

5-HT1D agonists/antagonists useful as

antimigraine drugs.

INVENTOR(S):

Chapdelaine, Marc; Davenport, Timothy;

Haeberlein, Markus; Horchler, Carey; McCauley,

John; Pierson, Edward; Sohn, Daniel

PATENT ASSIGNEE(S):

SOURCE:

Astrazeneca Ab, Swed. PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

T: 1

Ι

PATENT INFORMATION:

KIND DATE	APPLICATION NO	APPLICATION NO. DATE							
A2 20020	18 WO 2002-SE70	20020115							
CR, CU, CZ, I	E, DK, DM, DZ, EC, EE,	ES, FI, GB, GD,							
GM, HR, HU,	D, IL, IN, IS, JP, KE,	KG, KP, KR, KZ,							
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BF, BJ, CF,	G, CI, CM, GA, GN, GQ,	GW, ML, MR, NE,							
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.:	US 2001-262108P	P 20010116							
	SE 2001-3646	A 20011101							
	A2 200207 AL, AM, AT, A CR, CU, CZ, D GM, HR, HU, I LR, LS, LT, L OM, PH, PL, P TR, TT, TZ, U KG, KZ, MD, R KE, LS, MW, M DE, DK, ES, F	A2 20020718 WO 2002-SE70 AL, AM, AT, AU, AZ, BA, BB, BG, BR, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, GM, HR, HU, ID, IL, IN, IS, JP, KE, LR, LS, LT, LU, LV, MA, MD, MG, MK, OM, PH, PL, PT, RO, RU, SD, SE, SG, TR, TT, TZ, UA, UG, US, UZ, VN, YU, KG, KZ, MD, RU, TJ, TM KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, DE, DK, ES, FI, FR, GB, GR, IE, IT, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, TG							

OTHER SOURCE(S):

MARPAT 137:109293

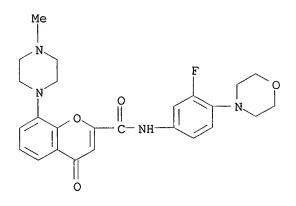
R2

Title compds. [I; R1 = H, thiomethoxy, NHA, NA2, NHCOA, halo, OH, OA, cyano, aryl, (substituted) alkyl, cycloalkyl, etc.; A = (substituted) alkyl, cycloalkyl, alkenyl, alkynyl; R2 = (substituted) piperazinyl, homopiperazinyl, aminoalkylamino, aminoheterocyclyl, heterocyclylamino; R6 = H, Me; Y = CONH, CONA, CSNH, CH2CO, CH2NA, piperazinylcarbonyl, 5-membered heterocyclylene, etc.; R7 = (substituted) mono- or bicyclic aryl, heterocyclyl], were prepd. Thus, 8-(4-methyl-1-piperazinyl)chroman-2-carboxylic acid hydrochloride (prepn. given) in DMF was treated sequentially with 1-hydroxybenzotriazole, O-(1H-benzotriazol-1-yl)-N,N,N',N'-pentamethyleneuronium tetrafluoroborate, Et3N, and 4-(4-morpholinyl)aniline (prepn. given) followed by stirring

10/051776

overnight to give 8-(4-methyl-1-piperazinyl)chroman-2-carboxylic acid (4-morpholin-4-ylphenyl)amide. Several I showed 5-HT1B antagonist activity in the range 0.006-5.5 mg/kg in a screen for reversal of hypothermia in guinea pigs. 442548-39-2P 442548-55-2P 442548-60-9P ΙT 442548-61-0P 442548-82-5P 442548-83-6P 442548-84-7P 442548-85-8P 442548-86-9P 442548-87-0P 442548-91-6P 442548-92-7P 442548-96-1P 442548-97-2P 442548-98-3P 442548-99-4P 442549-00-0P 442549-08-8P 442549-17-9P 442549-18-0P 442549-27-1P 442549-28-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (prepn. of piperazinylchromans as 5-HT1B and 5-HT1D agonists/antagonists useful as antimigraine drugs) RN 442548-39-2 HCAPLUS 4H-1-Benzopyran-2-carboxamide, N-[3-chloro-4-(4-morpholinyl)phenyl]-CN 8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-55-2 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RN 442548-60-9 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-chloro-4-(4-morpholinyl)phenyl]-6-methoxy-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-61-0 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]-6-methoxy-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-82-5 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-N[4-(4-morpholinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-83-6 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-N[4-[4-(methylsulfonyl)-1-piperazinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-84-7 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[4-(4-acetyl-1-piperazinyl)phenyl]-6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-85-8 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-chloro-4-(4-morpholinyl)phenyl]-6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-86-9 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-N-[3-fluoro-4-(4-morpholinyl)phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-87-0 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, N-[3-cyano-4-(4-morpholinyl)phenyl]-6fluoro-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-91-6 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]-6-methyl-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-92-7 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-chloro-8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-96-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-4H-1-benzopyran-2-yl]carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 442548-97-2 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-N-[4-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 442548-98-3 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[4-[4-(ethylsulfonyl)-1-piperazinyl]phenyl]-6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-99-4 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-N-[4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 442549-00-0 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-N-[4-[4-(3-hydroxy-1-oxopropyl)-1-piperazinyl]phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442549-08-8 HCAPLUS

CN 1-Piperazinecarboxamide, N-ethyl-4-[4-[[[6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-4H-1-benzopyran-2-yl]carbonyl]amino]phenyl](9CI) (CA INDEX NAME)

RN 442549-17-9 HCAPLUS
CN 2-Quinolinecarboxamide, 6-fluoro-1,4-dihydro-8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 442549-18-0 HCAPLUS
CN 2-Quinolinecarboxamide, 6-fluoro-1,4-dihydro-8-(4-methyl-1-piperazinyl)-4-oxo-N-[4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]-(9CI) (CA INDEX NAME)

RN 442549-27-1 HCAPLUS

CN 2-Quinolinecarboxamide, 6-fluoro-4-methoxy-8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 442549-28-2 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-4-oxo-N-[4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

IT 442549-69-1

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of piperazinylchromans as 5-HT1B and 5-HT1D agonists/antagonists useful as antimigraine drugs)

RN 442549-69-1 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-N-[4-(1-piperazinyl)phenyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 442548-97-2 CMF C25 H28 F N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L20 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: DOCUMENT NUMBER:

2002:539472 HCAPLUS

137:93772

TITLE:

Preparation of piperazinylchromenones as 5-HT1B

5-HT1D agonists/antagonists useful as drugs.

INVENTOR(S):

Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler, Carey; McCauley,

John; Pierson, Edward; Sohn, Daniel

PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed.

SOURCE:

PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KII	ND	DATE			A -	PPLI	CATI	DATE				
WO 2002055013			A2 20020718				WO 2002-SE69					20020115				
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							RU,									
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CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2001-262109P P 20010116 SE 2001-3647 A 20011101

OTHER SOURCE(S):

MARPAT 137:93772

GI

Title compds. [I; R1 = H, thiomethoxy, NHA, NA2, NHCOA, halo, OH, AΒ OA, cyano, aryl, (substituted) alkyl, cycloalkyl, etc.; A = (substituted) alkyl, cycloalkyl, alkenyl, alkynyl; R2 = (substituted) piperazinyl, homopiperazinyl, aminoalkylamino, aminoheterocyclyl, heterocyclylamino; R6 = H, Me; Y = CONH, CONA, CSNH, CH2CO, CH2NA, piperazinylcarbonyl, 5-membered heterocyclylene, etc.; R7 = (substituted) mono- or bicyclic aryl, heterocyclyl], were prepd. Thus, 8-(4-methyl-1-piperazin-1-yl)-4-oxo-4H-chromene-2carboxylic acid hydrochloride (prepn. given) in DMF/Et3N was treated sequentially with 1-hydroxybenzotriazole, O-(1H-benzotriazol-1-yl)-N, N, N', N'-pentamethyleneuronium tetrafluoroborate, 4-dimethylaminopyridine, and 4-(4-morpholinyl)aniline (prepn. given) to give 8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo-4H-chromene-2-carboxamide. Several I showed 5-HT1B antagonist activity in the range 0.006-5.5 mg/kg in a screen for reversal of hypothermia in guinea pigs.

nypothermia in guinea pigs.

1T 442548-39-2P 442548-55-2P 442548-60-9P 442548-61-0P 442548-82-5P 442548-83-6P 442548-84-7P 442548-85-8P 442548-86-9P 442548-87-0P 442548-91-6P 442548-92-7P 442548-96-1P 442548-97-2P 442548-98-3P 442548-99-4P 442549-00-0P 442549-08-8P 442549-17-9P 442549-18-0P 442549-27-1P 442549-28-2P

Ι

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazinylchromenones as 5-HT1B 5-HT1D agonists/antagonists useful as drugs)

RN 442548-39-2 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-chloro-4-(4-morpholinyl)phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-55-2 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-60-9 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-chloro-4-(4-morpholinyl)phenyl]-6-methoxy-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-61-0 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]-

6-methoxy-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-82-5 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-83-6 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-N[4-[4-(methylsulfonyl)-1-piperazinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-84-7 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[4-(4-acetyl-1-piperazinyl)phenyl]-6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-85-8 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-chloro-4-(4-morpholinyl)phenyl]-6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-86-9 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-N-[3-fluoro-4-(4-morpholinyl)phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-87-0 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-cyano-4-(4-morpholinyl)phenyl]-6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-91-6 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]-6-methyl-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-92-7 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-chloro-8-(4-methyl-1-piperazinyl)-N-

[4-(4-morpholinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-96-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[[[6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-4H-1-benzopyran-2-yl]carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 442548-97-2 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-4oxo-N-[4-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 442548-98-3 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[4-[4-(ethylsulfonyl)-1-piperazinyl]phenyl]-6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI)
(CA INDEX NAME)

RN 442548-99-4 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-N-[4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 442549-00-0 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-N-[4-[4-(3-hydroxy-1-oxopropyl)-1-piperazinyl]phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442549-08-8 HCAPLUS

CN 1-Piperazinecarboxamide, N-ethyl-4-[4-[[[6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-4H-1-benzopyran-2-yl]carbonyl]amino]phenyl](9CI) (CA INDEX NAME)

RN 442549-17-9 HCAPLUS

CN 2-Quinolinecarboxamide, 6-fluoro-1,4-dihydro-8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo-(9CI) (CA INDEX NAME)

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RN 442549-18-0 HCAPLUS
CN 2-Quinolinecarboxamide, 6-fluoro-1,4-dihydro-8-(4-methyl-1-piperazinyl)-4-oxo-N-[4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]-(9CI) (CA INDEX NAME)

RN 442549-27-1 HCAPLUS
CN 2-Quinolinecarboxamide, 6-fluoro-4-methoxy-8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 442549-28-2 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-4-oxo-N-[4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

IT 442549-69-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of piperazinylchromenones as 5-HT1B 5-HT1D
 agonists/antagonists useful as drugs)

RN 442549-69-1 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-N-[4-(1-piperazinyl)phenyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 442548-97-2 CMF C25 H28 F N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

10/051776

L20 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2002:539471 HCAPLUS DOCUMENT NUMBER: 137:109205 Preparation of 4-oxo-4H-chromene-2-carboxamides TITLE: and related compounds as antagonists or agonists

of serotonin 5HT1B and 5HT1D receptors
Chapdelaine, Marc; Davenport, Timothy;
Haeberlein, Markus; Horchler, Carey; McCauley,
John; Pierson, Edward; Sohn, Daniel

PATENT ASSIGNEE(S): SOURCE:

Astrazeneca Ab, Swed. PCT Int. Appl., 147 pp. CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KII				ND DATE				APPLICATION NO.						DATE			
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WO 200	WO 2002055012 A:			2 20020718				W	0 20	02-S	E68	20020115					
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	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,		
	SN,	TD,	TG														
PRIORITY APPLN. INFO.:							1	US 2001-262107P				P 20010116					
								SE 2	001-	3650		Α	2001	1101			
OTHER SOURCE(S):			MAR	PAT :	137:	1092	05										

Searcher :

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$$R^{5}$$
 R^{6}
 R^{7}
 R^{7

Title compds. I and their pharmaceutically acceptable salts [R1 = H, AB alkyl, cycloalkyl, thiomethoxy, etc.; R2 = NR3R3; R3 independently = H, (un) substituted alkylamine e.g., alkyl, alkenyl, alkynyl amino-heterocycle, etc; R3-R3 = (un)substituted cycloalkylamine or amino-heterocycle e.g., alkyl, alkenyl, alkynyl, etc; R5 = H, O, S, etc.; R6 = H, Me; R7 = (un)substituted mono-. or bicylo- arom., (un) substituted heterocycle; X = O, N, NH, S; Y = CONH, NHCO, CSNH, etc.] were prepd with the proviso that multiple bonds are sepd. from each other by at least one single bond. For example, condensation of 4-oxo-4H-chromene-2-carboxylic acid II e.g., prepd. from diethylacetylenedicarboxylate and 2-bromo-4-fluorophenol in 5 steps, and 4-morpholin-4-yl-phenylamine provided preferred 4-oxo-4H-chromene-2-carboxamide III. The utility of the compds. of the present invention were tested using a guinea pig hypothermia test, ED50 values for compds. I range from 0.006-5.5 mg/kg. Compds. I are disclosed to be antagonists or agonists of serotonin 5HT1B and 5HT1D receptors (no data provided). Also I are claimed for use in the treatment of gastrointestinal disorders, cardiovascular regulation, motor disorders, etc.. IT

regulation, motor disorders, etc..

442548-39-2P 442548-55-2P 442548-60-9P

442548-61-0P 442548-82-5P 442548-83-6P

442548-84-7P, 6-Fluoro-8-(4-methylpiperazin-1-yl)-4-oxo-4H-chromene-2-carboxylic acid[4-(4-acetylpiperazin-1-yl)phenyl]amide

442548-85-8P 442548-86-9P 442548-87-0P

442548-91-6P 442548-92-7P 442549-00-0P,
6-Fluoro-8-(4-methylpiperazin-1-yl)-4-oxo-4H-chromene-2-carboxylic acid[4-[4-(3-hydroxypropanoyl)piperazin-1-yl]phenyl]amide

442549-08-8P, 4-[4-[[1-[6-Fluoro-8-(4-methylpiperazin-1-yl)-4-oxo-4H-chromen-2-yl]methanoyl]amino]phenyl]piperazine-1-carboxylic acid ethylamide 442549-17-9P 442549-18-0P,

10/051776

6-Fluoro-8-(4-methylpiperazin-1-yl)-4-oxo-1,4-dihydroquinoline-2-carboxylic acid[4-(4-propionylpiperazin-1-yl)phenyl]amide 442549-27-1P, 6-Fluoro-4-methoxy-8-(4-methylpiperazin-1-yl)quinoline-2-carboxylic acid(4-morpholin-4-ylphenyl)amide 442549-69-1P 442914-98-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of 4-oxo-4H-chromene-2-carboxamides and related compds. as antagonists or agonists of serotonin 5HT1B and 5HT1D receptors)

RN 442548-39-2 HCAPLUS

CN

4H-1-Benzopyran-2-carboxamide, N-[3-chloro-4-(4-morpholinyl)phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-55-2 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-60-9 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-chloro-4-(4-morpholinyl)phenyl]-6-methoxy-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-61-0 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]-6-methoxy-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-82-5 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-83-6 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-N-

[4-[4-(methylsulfonyl)-1-piperazinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-84-7 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[4-(4-acetyl-1-piperazinyl)phenyl]-6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-85-8 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[3-chloro-4-(4-morpholinyl)phenyl]-6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-86-9 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-N-[3-fluoro-4-(4-morpholinyl)phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-87-0 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, N-[3-cyano-4-(4-morpholinyl)phenyl]-6fluoro-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 442548-91-6 HCAPLUS CN 4H-1-Benzopyran-2-carboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]-6-methyl-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442548-92-7 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-chloro-8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 442549-00-0 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-N-[4-[4-(3-hydroxy-1-oxopropyl)-1-piperazinyl]phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 442549-08-8 HCAPLUS

1-Piperazinecarboxamide, N-ethyl-4-[4-[[[6-fluoro-8-(4-methyl-1-CN piperazinyl)-4-oxo-4H-1-benzopyran-2-yl]carbonyl]amino]phenyl]-(9CI) (CA INDEX NAME)

RN 442549-17-9 HCAPLUS 2-Quinolinecarboxamide, 6-fluoro-1,4-dihydro-8-(4-methyl-1-CN

piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN442549-18-0 HCAPLUS CN

2-Quinolinecarboxamide, 6-fluoro-1,4-dihydro-8-(4-methyl-1piperazinyl)-4-oxo-N-[4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]-(9CI) (CA INDEX NAME)

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RN 442549-27-1 HCAPLUS
CN 2-Quinolinecarboxamide, 6-fluoro-4-methoxy-8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 442549-69-1 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-4oxo-N-[4-(1-piperazinyl)phenyl]-, bis(trifluoroacetate) (9CI) (CA
INDEX NAME)

CM 1

CRN 442548-97-2 CMF C25 H28 F N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 442914-98-9 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-4-oxo-8-(1-piperazinyl)-N-[4-(1-piperazinyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

IT 442548-96-1P, 4-[4-[[1-[6-Fluoro-8-(4-methylpiperazin-1-yl)4-oxo-4H-chromen-2-yl]methanoyl]amino]phenyl]piperazine-1-carboxylic
acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)

10/051776

(intermediate; prepn. of $4-\infty$ 0-4H-chromene-2-carboxamides and related compds. as antagonists or agonists of serotonin 5HT1B and 5HT1D receptors)

RN 442548-96-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-4H-1-benzopyran-2-yl]carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 442548-97-2P 442548-99-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of 4-oxo-4H-chromene-2-carboxamides and related compds. as antagonists or agonists of serotonin 5HT1B and 5HT1D receptors)

RN 442548-97-2 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-N-[4-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 442548-99-4 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, 6-fluoro-8-(4-methyl-1-piperazinyl)-4-oxo-N-[4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

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Searcher : Shears

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